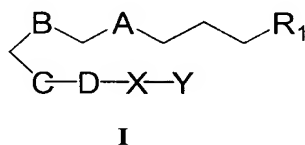


WHAT IS CLAIMED IS:

1. A composition for the treatment of dry eye and other disorders requiring the wetting of the eye comprising a pharmaceutically acceptable carrier and a pharmaceutically effective amount of one or more compounds of the following formula I:



wherein:

R^1 is CO_2R , $CONR^2R^3$, CH_2OR^4 , $CH_2NR^5R^6$, CH_2N_3 , CH_2Hal , CH_2NO_2 ,
10 CH_2SR^{20} , $COSR^{21}$, or 2,3,4,5-tetrazol-1-yl, wherein:

R is H or CO_2R forms a pharmaceutically acceptable salt or a pharmaceutically acceptable ester;

15 NR^2R^3 and NR^5R^6 are the same or different and comprise a free or functionally modified amino group, e.g., R^2 , R^3 , R^5 and R^6 are the same or different and are H , alkyl, cycloalkyl, aralkyl, aryl, OH , or alkoxy, with the proviso that at most only one of R^2 and R^3 are OH or alkoxy and at most only one of R^5 and R^6 are OH or alkoxy;

20 OR^4 comprises a free or functionally modified hydroxy group, e.g., R^4 is H , acyl; alkyl, cycloalkyl, aralkyl, or aryl;

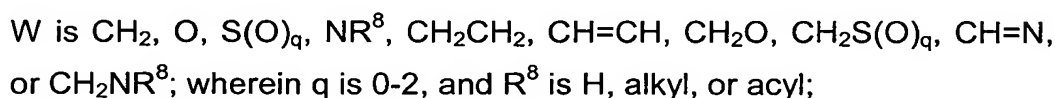
Hal is F , Cl , Br , or I ;

25 SR^{20} comprises a free or functionally modified thiol group; and

A, B and D are the same or different and are C₁-C₅ alkyl, C₂-C₅ alkenyl, C₂-C₅ alkynyl, or a C₃-C₅ allenyl group;

X is $(\text{CH}_2)_m$ or $(\text{CH}_2)_m\text{O}$, wherein m is 1-6; and

X-Y is $(\text{CH}_2)_p\text{Y}^1$; wherein p is 0-6; and



---- is a single or double bond;

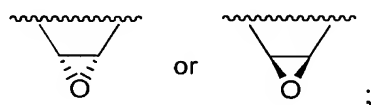
2. The composition of Claim 1, wherein for the compound of formula I:

R¹ is CO₂R, wherein R is H or CO₂R forms a pharmaceutically acceptable salt or a pharmaceutically acceptable ester;

A and B are C₁₋₅ alkyl, alkenyl, or alkynyl or C₃₋₅ allenyl group;

5

C is



D is a C₃ alkyl, alkenyl, or alkynyl group;

10

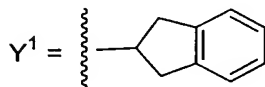
X is (CH₂)_m or (CH₂)_mO, wherein m is 1 or 2; and

Y is a phenyl ring optionally substituted with halo, trihalomethyl, or a free or functionally modified hydroxy group; or

15

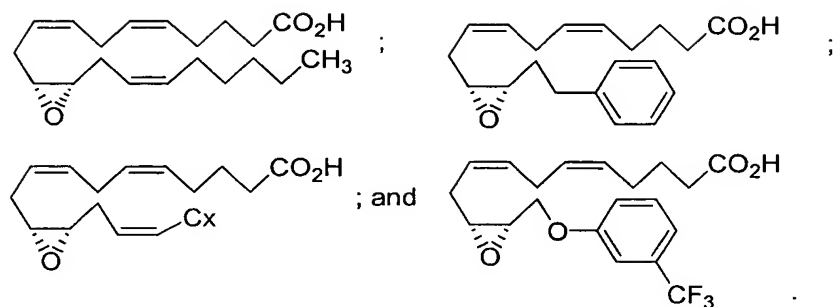
X-Y is *n*-C₅H₁₁ or cyclohexyl; or

X-Y is Y¹; wherein



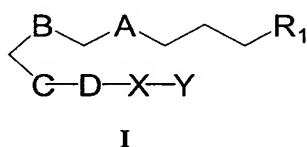
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3. The composition of Claim 2, wherein the compound of formula I is selected from the group consisting of:



4. The composition of Claim 1, wherein the composition is a topical
ophthalmic formulation.

5. A method for the treatment of dry eye and other disorders requiring the
wetting of the eye which comprises administering to a mammal a composition
comprising a pharmaceutically acceptable carrier and a pharmaceutically
effective amount of one or more compounds of the following formula I:



wherein:

R^1 is CO_2R , CONR^2R^3 , CH_2OR^4 , $\text{CH}_2\text{NR}^5\text{R}^6$, CH_2N_3 , CH_2Hal , CH_2NO_2 ,
 $\text{CH}_2\text{SR}^{20}$, COSR^{21} , or 2,3,4,5-tetrazol-1-yl, wherein:

R is H or CO_2R forms a pharmaceutically acceptable salt or a
pharmaceutically acceptable ester;

NR^2R^3 and NR^5R^6 are the same or different and comprise a free or
functionally modified amino group, e.g., R^2 , R^3 , R^5 and R^6 are the same

or different and are H, alkyl, cycloalkyl, aralkyl, aryl, OH, or alkoxy, with the proviso that at most only one of R^2 and R^3 are OH or alkoxy and at most only one of R^5 and R^6 are OH or alkoxy;

5 OR^4 comprises a free or functionally modified hydroxy group, e.g., R^4 is H, acyl; alkyl, cycloalkyl, aralkyl, or aryl;

Hal is F, Cl, Br, or I;

10 SR^{20} comprises a free or functionally modified thiol group; and

R^{21} is H or $COSR^{21}$ forms a pharmaceutically acceptable salt or a pharmaceutically acceptable thioester;

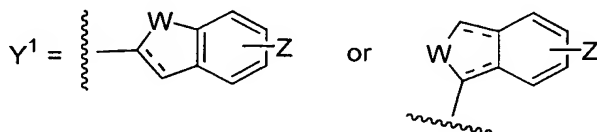
15 A, B and D are the same or different and are C_1 - C_5 alkyl, C_2 - C_5 alkenyl, C_2 - C_5 alkynyl, or a C_3 - C_5 allenyl group;

C an oxirane or cyclopropane;

20 X is $(CH_2)_m$ or $(CH_2)_mO$, wherein m is 1-6; and

Y is a phenyl ring optionally substituted with alkyl, halo, trihalomethyl, acyl, or a free or functionally modified hydroxy, amino, or thiol group; or

25 X-Y is $(CH_2)_pY^1$; wherein p is 0-6; and



wherein:

W is CH₂, O, S(O)_q, NR⁸, CH₂CH₂, CH=CH, CH₂O, CH₂S(O)_q, CH=N, or CH₂NR⁸; wherein q is 0-2, and R⁸ is H, alkyl, or acyl;

Z is H, alkyl, acyl, halo, trihalomethyl, or a free or functionally modified amino, thiol, or hydroxy group; and

---- is a single or double bond;

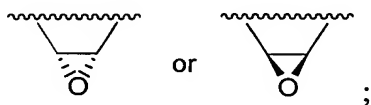
or X-Y is cyclohexyl or *n*-C₅H₁₁.

6. The method of Claim 5, wherein for the compound of formula I:

R¹ is CO₂R, wherein R is H or CO₂R forms a pharmaceutically acceptable salt or a pharmaceutically acceptable ester;

A and B are C₁₋₅ alkyl, alkenyl, or alkynyl or C₃₋₅ allenyl group;

C is



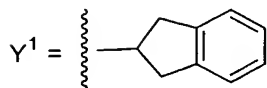
D is a C₃ alkyl, alkenyl, or alkynyl group;

X is (CH₂)_m or (CH₂)_mO, wherein m is 1 or 2; and

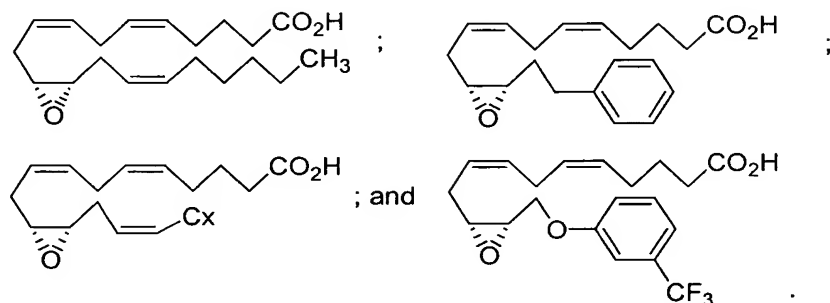
Y is a phenyl ring optionally substituted with halo, trihalomethyl, or a free or functionally modified hydroxy group; or

X-Y is *n*-C₅H₁₁ or cyclohexyl; or

X-Y is Y¹; wherein



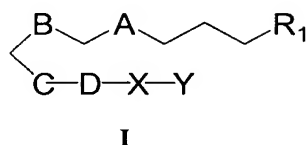
- 5 7. The method of Claim 6, wherein the compound of formula I is selected from the group consisting of:



8. The method of Claim 5, wherein the composition is a topical
10 ophthalmic formulation.

9. The method of Claim 5 wherein the dry eye and other disorders requiring the wetting of the eye is symptoms of dry eye associated with refractive surgery.

10. A compound of the following formula I:



wherein:

R^1 is CO_2R , $CONR^2R^3$, CH_2OR^4 , $CH_2NR^5R^6$, CH_2N_3 , CH_2Hal , CH_2NO_2 , CH_2SR^{20} , $COSR^{21}$, or 2,3,4,5-tetrazol-1-yl, wherein:

R is H or CO_2R forms a pharmaceutically acceptable salt or a pharmaceutically acceptable ester;

NR^2R^3 and NR^5R^6 are the same or different and comprise a free or functionally modified amino group, e.g., R^2 , R^3 , R^5 and R^6 are the same or different and are H , alkyl, cycloalkyl, aralkyl, aryl, OH , or alkoxy, with the proviso that at most only one of R^2 and R^3 are OH or alkoxy and at most only one of R^5 and R^6 are OH or alkoxy;

OR^4 comprises a free or functionally modified hydroxy group, e.g., R^4 is H , acyl; alkyl, cycloalkyl, aralkyl, or aryl;

Hal is F , Cl , Br , or I ;

SR^{20} comprises a free or functionally modified thiol group; and

R^{21} is H or $COSR^{21}$ forms a pharmaceutically acceptable salt or a pharmaceutically acceptable thioester;

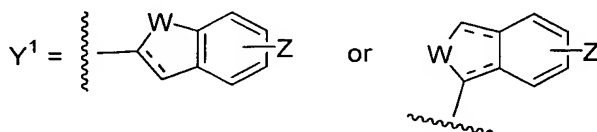
A , B and D are the same or different and are C_1 - C_5 alkyl, C_2 - C_5 alkenyl, C_2 - C_5 alkynyl, or a C_3 - C_5 allenyl group;

C is an oxirane or cyclopropane;

X is $(\text{CH}_2)_m$ or $(\text{CH}_2)_m\text{O}$, wherein m is 1-6; and

5 Y is a phenyl ring optionally substituted with alkyl, halo, trihalomethyl, acyl, or a free or functionally modified hydroxy, amino, or thiol group; or

X-Y is $(\text{CH}_2)_p\text{Y}^1$; wherein p is 0-6; and



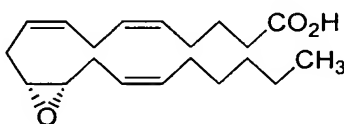
wherein:

15 W is CH_2 , O, $\text{S}(\text{O})_q$, NR^8 , CH_2CH_2 , $\text{CH}=\text{CH}$, CH_2O , $\text{CH}_2\text{S}(\text{O})_q$, $\text{CH}=\text{N}$, or CH_2NR^8 ; wherein q is 0-2, and R^8 is H, alkyl, or acyl;

Z is H, alkyl, acyl, halo, trihalomethyl, or a free or functionally modified amino, thiol, or hydroxy group; and

20 --- is a single or double bond;

or X-Y is cyclohexyl or $n\text{-C}_5\text{H}_{11}$, provided that the following compound is excluded:

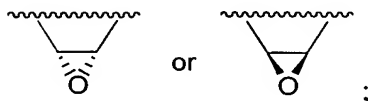


11. The compound of Claim 10, wherein for the compound of formula I:

R^1 is CO_2R , wherein R is H or CO_2R forms a pharmaceutically acceptable salt or a pharmaceutically acceptable ester;

5 A and B are C_{1-5} alkyl, alkenyl, or alkynyl or C_{3-5} allenyl group;

C is



D is a C_3 alkyl, alkenyl, or alkynyl group;

10

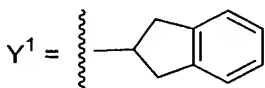
X is $(\text{CH}_2)_m$ or $(\text{CH}_2)_m\text{O}$, wherein m is 1 or 2; and

Y is a phenyl ring optionally substituted with halo, trihalomethyl, or a free or functionally modified hydroxy group; or

15

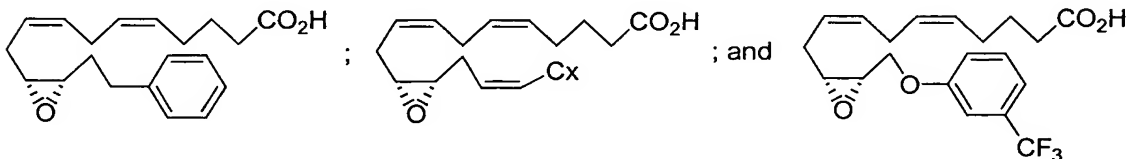
X-Y is $n\text{-C}_5\text{H}_{11}$ or cyclohexyl; or

X-Y is Y^1 ; wherein



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12. The compound of Claim 11, wherein the compound of formula I is selected group consisting of:



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